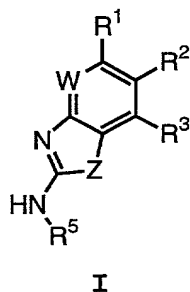


We claim:

1. A method of decreasing bacterial quantity in a biological sample comprising the step of contacting said biological sample with a compound of formula I:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

Z is O or N-R⁴;

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, -CF₃, R⁷, -OR⁷, or -N(R⁷)₂;

R¹ is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R⁹; wherein an R⁹ substituent in the ortho-position of R¹ taken together with R² may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R² and R³ are each independently selected from R⁶, halogen, CN, SR⁶, OR⁶, N(R⁶)₂, NRCO₂R⁶, NRCON(R⁶)₂, CON(R⁶)₂, NRCOR⁶, NRN(R⁶)₂, COR⁶, CO₂R⁶, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, or NRSO₂R⁶; or R² and R³ are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2

ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6 , $CON(R^6)$, COR^6 , CO_2R^6 , $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

R^5 is selected from R^7 , Ar , $COAr$, $CON(R^7)Ar$, $(CH_2)_yCO_2R$, $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$, $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR , SO_2R , or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN , NO_2 , R^8 , OR^8 , NHR^8 , $NHCOR^8$, $NHCONHR^8$, COR^8 , $CONHR^8$, SO_2R^8 , $NHSO_2NHR^8$ or SO_2NHR^8 ;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1 - C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar , or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN , NO_2 , $T_n(\text{haloalkyl})$, R^6 , SR^6 , OR^6 , OR^8 , $N(R^6)_2$, $CON(R^6)_2$,

5 $CON(R)COR^6$, COR^6 , CO_2R^6 , $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$,

$N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$,
 $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

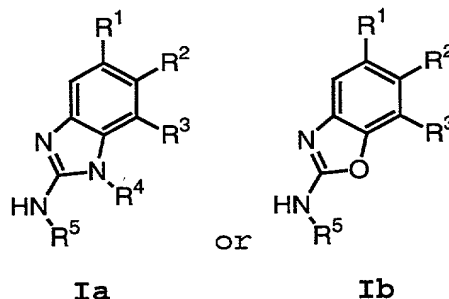
each Q is an independently selected C_1 - C_3 branched or straight alkyl;

T is selected from $-Q-$ or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one;

and R^{10} is selected from R^7 or Ar.

2. The method according to claim 1, wherein said compound has the formula Ia or Ib:



or a pharmaceutically acceptable derivative or prodrug thereof.

3. The method according to claim 2, wherein said compound has one or more features selected from the group consisting of:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (c) R^5 is CO_2R , $COAr$, COR , $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and
- (d) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(haloalkyl)$, $CO_2N(R^6)_2$, COR^6 , SO_2R^6 , or $SO_2N(R^6)_2$.

4. The method according to claim 3, wherein:
- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
 - (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
 - (c) R^5 is CO_2R , COAr , COR , $\text{CON}(R^7)_2$, Ar , $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_y\text{N}(R^7)_2$; and
 - (d) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, $\text{N}(R)\text{T}_n\text{CO}_2R^6$, $\text{N}(R)\text{T}_n\text{NRCO}_2R^6$, $\text{N}(R)\text{T}_n\text{N}(R^6)_2$, NO_2 , $\text{T}_n(\text{haloalkyl})$, $\text{CO}_2\text{N}(R^6)_2$, COR^6 , SO_2R^6 , or $\text{SO}_2\text{N}(R^6)_2$.

5. The method according to claim 3, wherein said compound has one or more features selected from the group consisting of:

- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(\text{CH}_2)_yR^2$;
- (e) R^5 is $\text{CON}(R^7)_2$, Ar , $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_y\text{N}(R^7)_2$; and
- (f) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, or $\text{N}(R)\text{T}_n\text{CO}_2R^6$.

6. The method according to claim 5, wherein:
- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-

yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

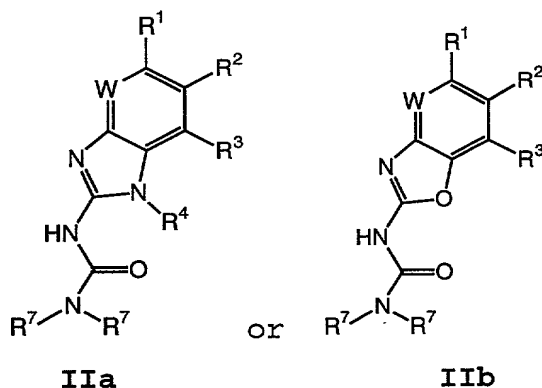
(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$;

(e) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(f) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

7. The method according to claim 1, wherein said compound has the formula IIa or IIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a ;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7 , $-OR^7$, or $-N(R^7)_2$;

R^1 is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R^9 ; wherein an R^9 substituent in the ortho-position of R^1 taken together with R^2 may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring

having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6 , halogen, CN, SR^6 , OR^6 , $N(R^6)_2$, $NR^6CO_2R^6$, $NR^6CON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6 , CO_2R^6 , $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6 , $CON(R^6)$, COR^6 , CO_2R^6 , $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

Ar is a five membered heteroaryl, heterocyclcyl, or carbocyclcyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2 , R^8 , OR^8 , NHR^8 , $NHCOR^8$, $NHCONHR^8$, COR^8 , $CONHR^8$, SO_2R^8 , $NHSO_2NHR^8$ or SO_2NHR^8 ;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclcyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1 - C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2 , $T_n(\text{haloalkyl})$, R^6 , SR^6 , OR^6 , OR^8 , $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6 , CO_2R^6 , $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1 - C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$; and

each m and n are independently selected from zero or one.

8. The method according to claim 7, wherein said compound has one or more features selected from the group consisting of:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$, $CO_2N(R^6)_2$, COR^6 , SO_2R^6 , or $SO_2N(R^6)_2$.

9. The method according to claim 8, wherein:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$, $CO_2N(R^6)_2$, COR^6 , SO_2R^6 , or $SO_2N(R^6)_2$.

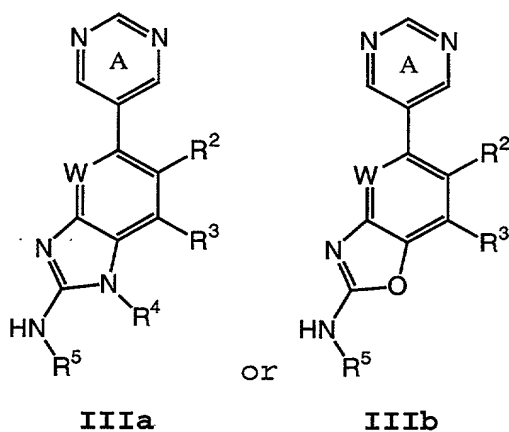
10. The method according to claim 8, wherein said compound has one or more features selected from the group consisting of:

- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(CH_2)_yR^2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

11. The method according to claim 10, wherein:

- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(CH_2)_yR^2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

12. The method according to claim 1, wherein said compound has the formula **IIIa** or **IIIb**:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, -CF₃, R⁷, -OR⁷, or -N(R⁷)₂;

Ring A is optionally substituted with up to three R⁹; wherein when an R⁹ substituent is in the ortho-position of Ring A, said R⁹ substituent may be taken together with R² to form an optionally substituted 5-7 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R² and R³ are each independently selected from R⁶, halogen, CN, SR⁶, OR⁶, N(R⁶)₂, NRCO₂R⁶, NRCON(R⁶)₂, CON(R⁶)₂, NRCOR⁶, NRN(R⁶)₂, COR⁶, CO₂R⁶, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, or NRSO₂R⁶; or R² and R³ are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R⁴ is selected from R⁶, CON(R⁶), COR⁶, CO₂R⁶, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, or (CH₂)_yR²;

y is 1-6;

R^5 is selected from R^7 , Ar, COAr, $CON(R^7)Ar$, $(CH_2)_yCO_2R$, $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$, $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR, SO_2R , or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclcyl, or carbocyclcyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2 , R^8 , OR^8 , NHR^8 , $NHCOR^8$, $NHCONHR^8$, COR^8 , $CONHR^8$, SO_2R^8 , $NHSO_2NHR^8$ or SO_2NHR^8 ;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclcyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1 - C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2 , $T_n(\text{haloalkyl})$, R^6 , SR^6 , OR^6 , OR^8 , $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6 , CO_2R^6 , $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1 - C_3 branched or straight alkyl;

T is selected from $-Q-$ or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one; and R^{10} is selected from R^7 or Ar.

13. The method according to claim 12, wherein said compound has one or more features selected from the group consisting of:

- (a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (b) R^5 is CO_2R , $COAr$, COR , $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNR^6CO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$, $CO_2N(R^6)_2$, COR^6 , SO_2R^6 , or $SO_2N(R^6)_2$.

14. The method according to claim 13, wherein:

- (a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (b) R^5 is CO_2R , $COAr$, COR , $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNR^6CO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$, $CO_2N(R^6)_2$, COR^6 , SO_2R^6 , or $SO_2N(R^6)_2$.

15. The method according to claim 13, wherein said compound has one or more features selected from the group consisting of:

- (a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (c) R^4 is hydrogen or $(CH_2)_yR^2$;
- (d) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

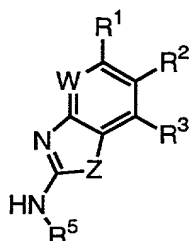
16. The method according to claim 15, wherein:

- (a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (c) R^4 is hydrogen or $(CH_2)_yR^2$;
- (d) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

17. The method according to claim 1, wherein said compound is selected from those listed in either of Tables 1 or 2.

18. The method according to claim 1 further comprising the step of contacting said biological sample with an agent which increases the susceptibility of bacterial organisms to antibiotics.

19. A method of treating a bacterial infection in a mammal in need thereof, comprising the step of administering to said mammal a therapeutically effective amount of a compound of formula I:



I

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

Z is O or N-R⁴;

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, -CF₃, R⁷, -OR⁷, or -N(R⁷)₂;

R¹ is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R⁹; wherein an R⁹ substituent in the ortho-position of R¹ taken together with R² may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R² and R³ are each independently selected from R⁶, halogen, CN, SR⁶, OR⁶, N(R⁶)₂, NRCO₂R⁶, NRCON(R⁶)₂, CON(R⁶)₂, NRCOR⁶, NRN(R⁶)₂, COR⁶, CO₂R⁶, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, or NRSO₂R⁶; or R² and R³ are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R⁴ is selected from R⁶, CON(R⁶), COR⁶, CO₂R⁶, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, or (CH₂)_yR²;

y is 1-6;

R⁵ is selected from R⁷, Ar, COAr, CON(R⁷)Ar, (CH₂)_yCO₂R, (CH₂)_yN(R⁷)₂, C(=NR¹⁰)-N(R⁷)₂, C(=NR¹⁰)-NRCOR, C(=S)-N(R⁷)₂, CON(R⁷)₂, COR, SO₂R, or SO₂N(R⁷)₂;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO₂, R⁸, OR⁸, NHR⁸, NHCOR⁸, NHCONHR⁸, COR⁸, CONHR⁸, SO₂R⁸, NHSO₂NHR⁸ or SO₂NHR⁸;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1 - C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

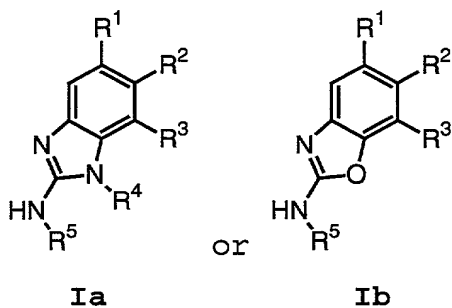
each R^9 is independently selected from oxo, halogen, CN, NO_2 , $T_n(\text{haloalkyl})$, R^6 , SR^6 , OR^6 , OR^8 , $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6 , CO_2R^6 , $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1 - C_3 branched or straight alkyl;

T is selected from $-Q-$ or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one; and R^{10} is selected from R^7 or Ar.

20. The method according to claim 19, wherein said compound has the formula Ia or Ib:



or a pharmaceutically acceptable derivative or prodrug thereof.

21. The method according to claim 20, wherein said compound has one or more features selected from the group consisting of:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (c) R^5 is CO_2R , COAr , COR , $\text{CON}(R^7)_2$, Ar , $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_y\text{N}(R^7)_2$; and
- (d) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, $\text{N}(R)\text{T}_n\text{CO}_2R^6$, $\text{N}(R)\text{T}_n\text{NRCO}_2R^6$, $\text{N}(R)\text{T}_n\text{N}(R^6)_2$, NO_2 , $\text{T}_n(\text{haloalkyl})$, $\text{CO}_2\text{N}(R^6)_2$, COR^6 , SO_2R^6 , or $\text{SO}_2\text{N}(R^6)_2$.

22. The method according to claim 21, wherein:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (c) R^5 is CO_2R , COAr , COR , $\text{CON}(R^7)_2$, Ar , $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_y\text{N}(R^7)_2$; and
- (d) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, $\text{N}(R)\text{T}_n\text{CO}_2R^6$,

$N(R)T_nNR\text{CO}_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$,
 $\text{CO}_2N(R^6)_2$, COR^6 , SO_2R^6 , or $\text{SO}_2N(R^6)_2$.

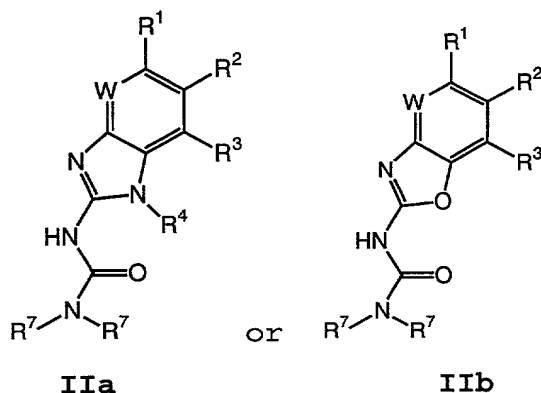
23. The method according to claim 21, wherein said compound has one or more features selected from the group consisting of:

- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(\text{CH}_2)_yR^2$;
- (e) R^5 is $\text{CON}(R^7)_2$, Ar, $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_yN(R^7)_2$; and
- (f) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, or $N(R)T_n\text{CO}_2R^6$.

24. The method according to claim 23, wherein:

- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(\text{CH}_2)_yR^2$;
- (e) R^5 is $\text{CON}(R^7)_2$, Ar, $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_yN(R^7)_2$; and
- (f) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, or $N(R)T_n\text{CO}_2R^6$.

25. The method according to claim 19, wherein said compound has the formula IIa or IIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, -CF₃, R⁷, -OR⁷, or -N(R⁷)₂;

R¹ is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R⁹; wherein an R⁹ substituent in the ortho-position of R¹ taken together with R² may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R² and R³ are each independently selected from R⁶, halogen, CN, SR⁶, OR⁶, N(R⁶)₂, NRCO₂R⁶, NRCON(R⁶)₂, CON(R⁶)₂, NRCOR⁶, NRN(R⁶)₂, COR⁶, CO₂R⁶, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, or NRSO₂R⁶; or R² and R³ are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6 , $CON(R^6)$, COR^6 , CO_2R^6 , $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2 , R^8 , OR^8 , NHR^8 , $NHCOR^8$, $NHCONHR^8$, COR^8 , $CONHR^8$, SO_2R^8 , $NHSO_2NHR^8$ or SO_2NHR^8 ;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1 - C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2 , $T_n(\text{haloalkyl})$, R^6 , SR^6 , OR^6 , OR^8 , $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6 , CO_2R^6 , $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1 - C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$; and

each m and n are independently selected from zero or one.

26. The method according to claim 25, wherein said compound has one or more features selected from the group consisting of:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$, $CO_2N(R^6)_2$, COR^6 , SO_2R^6 , or $SO_2N(R^6)_2$.

27. The method according to claim 26, wherein:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$, $CO_2N(R^6)_2$, COR^6 , SO_2R^6 , or $SO_2N(R^6)_2$.

28. The method according to claim 26, wherein said compound has one or more features selected from the group consisting of:

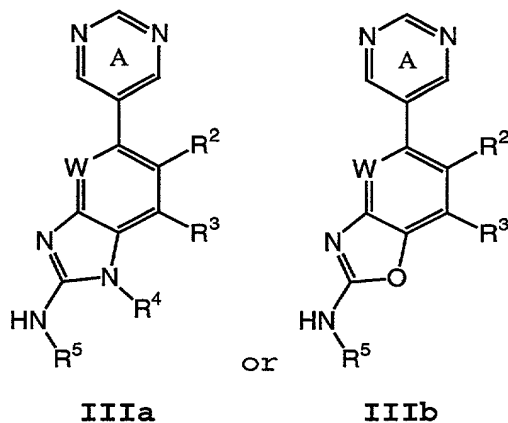
- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(CH_2)_yR^2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

29. The method according to claim 28, wherein:

- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(CH_2)_yR^2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

30. The method according to claim 19, wherein said compound has the formula IIIa or IIIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a ;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7 , $-OR^7$, or $-N(R^7)_2$;

Ring A is optionally substituted with up to three R^9 ;
wherein when an R^9 substituent is in the ortho-position of Ring A, said R^9 substituent may be taken together with R^2 to form an optionally substituted 5-7 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6 , halogen, CN, SR^6 , OR^6 , $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6 , CO_2R^6 , $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6 , $CON(R^6)$, COR^6 , CO_2R^6 , $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

R^5 is selected from R^7 , Ar, COAr, $CON(R^7)Ar$, $(CH_2)_yCO_2R$, $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$, $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR, SO_2R , or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2 , R^8 , OR^8 , NHR^8 , $NHCOR^8$, $NHCONHR^8$, COR^8 , $CONHR^8$, SO_2R^8 , $NHSO_2NHR^8$ or SO_2NHR^8 ;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1 - C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2 , $T_n(\text{haloalkyl})$, R^6 , SR^6 , OR^6 , OR^8 , $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6 , CO_2R^6 , $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nNRCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1 - C_3 branched or straight alkyl;

T is selected from $-Q-$ or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one; and R^{10} is selected from R^7 or Ar.

31. The method according to claim 30, wherein said compound has one or more features selected from the group consisting of:

- (a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (b) R^5 is CO_2R , $COAr$, COR , $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$,

$N(R)T_nNR\text{CO}_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$,
 $\text{CO}_2N(R^6)_2$, COR^6 , SO_2R^6 , or $\text{SO}_2N(R^6)_2$.

32. The method according to claim 31, wherein:

- (a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (b) R^5 is CO_2R , COAr , COR , $\text{CON}(R^7)_2$, Ar, $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_yN(R^7)_2$; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, $N(R)T_n\text{CO}_2R^6$, $N(R)T_nNR\text{CO}_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$, $\text{CO}_2N(R^6)_2$, COR^6 , SO_2R^6 , or $\text{SO}_2N(R^6)_2$.

33. The method according to claim 31, wherein said compound has one or more features selected from the group consisting of:

- (a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (c) R^4 is hydrogen or $(\text{CH}_2)_yR^2$;
- (d) R^5 is $\text{CON}(R^7)_2$, Ar, $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_yN(R^7)_2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, or $N(R)T_n\text{CO}_2R^6$.

34. The method according to claim 33, wherein:

- (a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (c) R^4 is hydrogen or $(\text{CH}_2)_yR^2$;
- (d) R^5 is $\text{CON}(R^7)_2$, Ar, $(\text{CH}_2)_y\text{CO}_2R$, or $(\text{CH}_2)_yN(R^7)_2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, or $N(R)T_n\text{CO}_2R^6$.

35. The method according to claim 19, wherein said compound is selected from those listed in either of Tables 1 and 2.

36. The method according to claim 19, wherein the disease in mammals is alleviated by administration of an inhibitor of gyrase.

37. The method according to claim 19, wherein the bacterial infection to be treated is characterized by the presence of one or more of the following: *Streptococcus pneumoniae*, *Streptococcus pyrogenes*, *Enterococcus faecalis*, *Enterococcus faecium*, *Klebsiella pneumoniae*, *Enterobacter* sps. *Proteus* sps. *Pseudomonas aeruginosa*, *E. coli*, *Serratia marcesens*, *S. aureus*, or Coag. Neg. Staph.

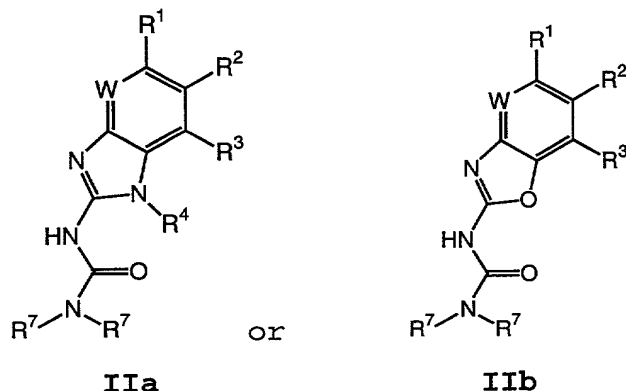
38. The method according to claim 19, wherein the bacterial infection to be treated is selected from one or more of the following: urinary tract infections, pneumonia, prostatitis, skin and soft tissue infections, intra-abdominal infections, or infections of febrile neutropenic patients.

39. The method according to claim 19 further comprising the step of administering to said patient an additional therapeutic agent either as part of a multiple dosage form together with said compound or as a separate dosage form.

40. The method according to claim 19 further comprising the step of administering to said patient an agent that increases the susceptibility of bacterial organisms to antibiotics.

1004533-1204

41. A compound of formula IIa or IIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, -CF₃, R⁷, -OR⁷, or -N(R⁷)₂;

R¹ is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R⁹; wherein an R⁹ substituent in the ortho-position of R¹ taken together with R² may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R² and R³ are each independently selected from R⁶, halogen, CN, SR⁶, OR⁶, N(R⁶)₂, NRCO₂R⁶, NRCON(R⁶)₂, CON(R⁶)₂, NRCOR⁶, NRN(R⁶)₂, COR⁶, CO₂R⁶, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, or NRSO₂R⁶; or R² and R³ are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R⁴ is selected from R⁶, CON(R⁶), COR⁶, CO₂R⁶, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, or (CH₂)_yR²;

y is 1-6;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO₂, R⁸, OR⁸, NHR⁸, NHCOR⁸, NHCONHR⁸, COR⁸, CONHR⁸, SO₂R⁸, NHSO₂NHR⁸ or SO₂NHR⁸;

each R⁶ is independently selected from R⁷ or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R⁷ is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R⁷ on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R⁸ is a C₁-C₄ aliphatic group, wherein two R⁸ on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R⁹ is independently selected from oxo, halogen, CN, NO₂, T_n(haloalkyl), R⁶, SR⁶, OR⁶, OR⁸, N(R⁶)₂, CON(R⁶)₂, CON(R)COR⁶, COR⁶, CO₂R⁶, CO₂N(R⁶)₂, COCOR⁶, SO₂R⁶, SO₂N(R⁶)₂, N(R)T_nCO₂R⁶, N(R)T_nCON(R⁶)₂, N(R)T_nN(R⁶)₂, N(R)T_nNRCO₂R⁶, N(R)T_nNRCON(R⁶)₂, N(R)T_nCOR⁶, N(R)T_nNRCOR⁶, N(R)T_nSO₂N(R⁶)₂, N(R)T_nSO₂R⁶, T_nPO(OR⁷)₂, T_nOPO(OR⁷)₂, T_nSP(OR⁷)₂, T_nPO(OR⁷)₂, or T_nNPO(OR⁷)₂;

each Q is an independently selected C₁-C₃ branched or straight alkyl;

T is selected from -Q- or -Q_m-CH(Q_m-R²)-; and

each m and n are independently selected from zero or one.

42. The compound according to claim 41, wherein said compound has one or more features selected from the group consisting of:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, $\text{N}(R)\text{T}_n\text{CO}_2R^6$, $\text{N}(R)\text{T}_n\text{NRCO}_2R^6$, $\text{N}(R)\text{T}_n\text{N}(R^6)_2$, NO_2 , $\text{T}_n(\text{haloalkyl})$, $\text{CO}_2\text{N}(R^6)_2$, COR^6 , SO_2R^6 , or $\text{SO}_2\text{N}(R^6)_2$.

43. The compound according to claim 42, wherein:

- (a) R^1 is an optionally substituted aryl or heteroaryl ring;
- (b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(R^6)_2$, $\text{CON}(R^6)_2$, CO_2R^6 , $\text{CON}(R)\text{COR}^6$, $\text{N}(R)\text{T}_n\text{CO}_2R^6$, $\text{N}(R)\text{T}_n\text{NRCO}_2R^6$, $\text{N}(R)\text{T}_n\text{N}(R^6)_2$, NO_2 , $\text{T}_n(\text{haloalkyl})$, $\text{CO}_2\text{N}(R^6)_2$, COR^6 , SO_2R^6 , or $\text{SO}_2\text{N}(R^6)_2$.

44. The compound according to claim 42, wherein said compound has one or more features selected from the group consisting of:

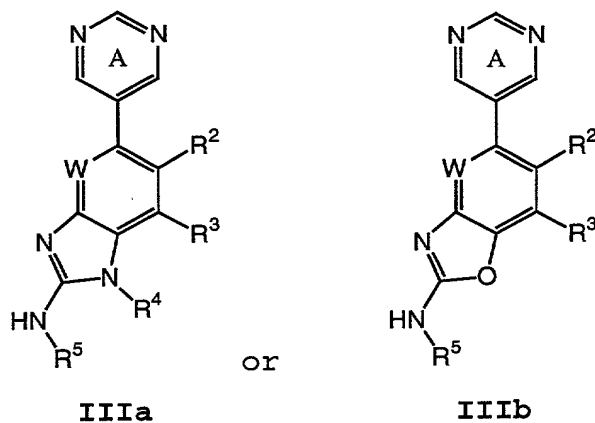
- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(\text{CH}_2)_Y R^2$; and

- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

45. The compound according to claim 44, wherein:

- (a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (d) R^4 is hydrogen or $(CH_2)_yR^2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

46. A compound of formula IIIa or IIIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a ;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7 , $-OR^7$, or $-N(R^7)_2$;

Ring A is optionally substituted with up to three R^9 ;
wherein when an R^9 substituent is in the ortho-position
of Ring A, said R^9 substituent may be taken together
with R^2 to form an optionally substituted 5-7 membered
ring containing 0-2 ring heteroatoms selected from
nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6 ,
halogen, CN, SR^6 , OR^6 , $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$,
 $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6 , CO_2R^6 , $COCOR^6$, SO_2R^6 ,
 $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to
form a fused, unsaturated or partially unsaturated,
optionally substituted 5-8 membered ring containing 0-2
ring heteroatoms selected from nitrogen, oxygen, or
sulfur;

R^4 is selected from R^6 , $CON(R^6)$, COR^6 , CO_2R^6 , $COCOR^6$, SO_2R^6 ,
 $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

R^5 is selected from R^7 , Ar, COAr, $CON(R^7)Ar$, $(CH_2)_yCO_2R$,
 $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$,
 $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR, SO_2R , or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclyl, or
carbocyclyl ring, wherein said ring is optionally
substituted by up to three substituents selected from
oxo, halogen, CN, NO_2 , R^8 , OR^8 , NHR^8 , $NHCOR^8$, $NHCONHR^8$,
 COR^8 , $CONHR^8$, SO_2R^8 , $NHSO_2NHR^8$ or SO_2NHR^8 ;

each R^6 is independently selected from R^7 or an optionally
substituted group selected from alkoxy, hydroxyalkyl,
heterocyclyl, heterocyclcylalkyl, aryl, aralkyl,
aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl,
heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an
optionally substituted aliphatic group having one to
six carbons, or two R^7 on the same nitrogen taken

together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1 - C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2 , $T_n(\text{haloalkyl})$, R^6 , SR^6 , OR^6 , OR^8 , $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6 , CO_2R^6 , $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6 , $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1 - C_3 branched or straight alkyl;

T is selected from $-Q-$ or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one; and R^{10} is selected from R^7 or Ar.

47. The compound according to claim 46, wherein said compound has one or more features selected from the group consisting of:

- (a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (b) R^5 is CO_2R , $COAr$, COR , $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6 , $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2 , $T_n(\text{haloalkyl})$, $CO_2N(R^6)_2$, COR^6 , SO_2R^6 , or $SO_2N(R^6)_2$.

48. The compound according to claim 47, wherein:

- (a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6 , OR^6 , or R^6 ;
- (b) R^5 is CO_2R , COAr , COR , $\text{CON}(\text{R}^7)_2$, Ar , $(\text{CH}_2)_y\text{CO}_2\text{R}$, or $(\text{CH}_2)_y\text{N}(\text{R}^7)_2$; and
- (c) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(\text{R}^6)_2$, $\text{CON}(\text{R}^6)_2$, CO_2R^6 , $\text{CON}(\text{R})\text{COR}^6$, $\text{N}(\text{R})\text{T}_n\text{CO}_2\text{R}^6$, $\text{N}(\text{R})\text{T}_n\text{NRCO}_2\text{R}^6$, $\text{N}(\text{R})\text{T}_n\text{N}(\text{R}^6)_2$, NO_2 , $\text{T}_n(\text{haloalkyl})$, $\text{CO}_2\text{N}(\text{R}^6)_2$, COR^6 , SO_2R^6 , or $\text{SO}_2\text{N}(\text{R}^6)_2$.

49. The compound according to claim 47, wherein said compound has one or more features selected from the group consisting of:

- (a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (c) R^4 is hydrogen or $(\text{CH}_2)_y\text{R}^2$;
- (d) R^5 is $\text{CON}(\text{R}^7)_2$, Ar , $(\text{CH}_2)_y\text{CO}_2\text{R}$, or $(\text{CH}_2)_y\text{N}(\text{R}^7)_2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(\text{R}^6)_2$, $\text{CON}(\text{R}^6)_2$, CO_2R^6 , $\text{CON}(\text{R})\text{COR}^6$, or $\text{N}(\text{R})\text{T}_n\text{CO}_2\text{R}^6$.

50. The compound according to claim 49, wherein:

- (a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
- (c) R^4 is hydrogen or $(\text{CH}_2)_y\text{R}^2$;
- (d) R^5 is $\text{CON}(\text{R}^7)_2$, Ar , $(\text{CH}_2)_y\text{CO}_2\text{R}$, or $(\text{CH}_2)_y\text{N}(\text{R}^7)_2$; and
- (e) R^9 is halogen, CN, oxo, R^6 , SR^6 , OR^6 , $\text{N}(\text{R}^6)_2$, $\text{CON}(\text{R}^6)_2$, CO_2R^6 , $\text{CON}(\text{R})\text{COR}^6$, or $\text{N}(\text{R})\text{T}_n\text{CO}_2\text{R}^6$.

51. A composition comprising a compound according to any one of claims 41 to 50; and a pharmaceutically acceptable carrier.

52. The composition according to claim 51, wherein said compound is formulated in a pharmaceutically acceptable manner for administration to a patient.

53. The composition according to claim 51 further comprising an additional therapeutic agent.

54. The composition according to claim 52 further comprising an additional therapeutic agent.

55. The composition according to claim 51 further comprising an agent that increases the susceptibility of bacterial organisms to antibiotics.

56. The composition according to claim 53 further comprising an agent that increases the susceptibility of bacterial organisms to antibiotics.